PTO 05-1783

German Patent Document No. DE 34 31 727 A1

NOSE SPRAY AGAINST THE COMMON COLD AND INFLUENZA HAVING A CONTENT OF ZINC GLUCONATE

[Nasenspray gegen Schnupfen und Grippe
 mit einem Gehalt an Zinkglukonat]

Bernd Hempel

UNITED STATES PATENT AND TRADEMARK OFFICE Washington, D.C. January 2005

Translated by: Schreiber Translations, Inc.

Country : Federal Republic of Germany

Document No. : DE 34 31 727 A1

Document Type : Document laid open/first

publication

Language : German

Inventor : Bernd Hempel

<u>Applicant</u>: Robugen GmbH Pharmazeutische

Fabrik, Esslingen, Federal

Republic of Germany

IPC : A 61 K 31/315

Application Date : August 20, 1984

Publication Date : March 13, 1986

Foreign Language Title : Nasenspray gegen Schnupfen und

Grippe mit einem Gehalt an

Zinkglukonat

English Title : NOSE SPRAY AGAINST THE COMMON COLD

AND INFLUENZA HAVING A CONTENT OF

ZINC GLUCONATE

NOSE SPRAY AGAINST THE COMMON COLD AND INFLUENZA HAVING A CONTENT OF ZINC GLUCONATE

The invention concerns a nose spray for treating viral ailments, in particular the common cold and influenza, containing zinc gluconate.

Patent Claims

- 1. A medication for treating viral ailments of the respiratory tract, in particular the common cold and influenza, containing zinc gluconate in aqueous solution together with stabilizers, preservatives, and other customary pharmaceutical additives.
- 2. The medication of claim 1 in the form of a spray.

 /3

 The invention concerns a new nose spray, which contains zinc gluconate, and its use in the treatment of viral ailments, in particular the common cold and influenza.

It is known that there is no specific product against the common cold, influenza, and other viral ailments, or against viruses.

The products that are used to treat symptoms comprise:

 Nose drops and sprays having vasoconstrictive agents, which lead to a decongesting of the mucosa, but also cause a reactive stronger swelling of the nose mucosa. During longer

¹ Numbers in the margin indicate pagination in the foreign text.

use of vasoconstrictive agents have been described irreversible damages to the nose mucosa.

2. Orally administered products, which contain vasoconstrictive substances together with antihistaminics and analysesics.

Preparations of both medication groups help only to make the common cold or ailment easier to bear, but have no influence on the duration of the illness. The side effects of these preparations should also be taken into consideration.

It is also known that zinc compounds, in particular if the zinc is available in ionizable, dissociable form, have an antiviral effect.

The use of zinc sulfate in pharmaceutical preparations for local treatment of herpes virus ailments has been described many times:

- J. Shlomai et al, Virology <u>66</u>, 330 (1975),
- P. Gupta et al, Proc. Soc. Exp. Biol. Med. 152, 455 (1976),
- P.O. Tennican et al, Life Science 24, 1877 (1979),
- P.O. Tennican et al, Proc. Soc. Exp. Biol. Med. <u>164</u>, 593 (1980), EU-OS 0 000 133, EU-OS 0 012 115, EU-OS 0 045 282, DE-OS 27 15 711.

Zinc sulfate could only be used locally until now, and also only if its caustic effect on the skin and the mucosa was mitigated by means of a special medicinal preparation.

From cell culture tests, it is known that zinc ions inhibit the formation of rhinoviruses:

- B.E. Butterworth et al, Archives of Virology 51, 169 (1976),
- B.D. Korant et al, J. Virology 18, 298 (1976),
- B.D. Korant et al, Nature 248, 588 (1974).

The zinc ions prevent the maturation of rhinoviruses by entering into a reversible reaction with the polypeptides required therefor.

The caustic effect of the zinc ions on the skin and mucosa prevented, however, until now a therapeutic use for treating viral ailments of the respiratory tract.

G.A. Eby et al (Antimicrob. Agents Chemother. <u>25</u>, 20 (1984)) used zinc gluconate for the first time against the common cold. The patients suffering from the common cold were administered 180 mg of zinc gluconate in the form of lozenges with positive results every two hours. The review of these findings has shown, however, that the taste of these lozenges is unpleasantly metallic, so that it is impossible to produce a therapeutically useful medication, not even by adding a strong aromatization or even with the addition of an anesthetic. The large quantities of zinc, which the body must absorb after the saliva is swallowed, also cause nausea and vomiting in many cases.

Subsequently was tested a mouthwash and gargling solution, which

however likewise caused vomiting as a consequence of the metallic taste, which was impossible to hide.

It was an object of the invention to develop a pharmaceutical preparation without the known disadvantages and side effects of other preparations having the same indications. The new preparation should contain the virus-inactivating zinc ions and release these in an effective, but not caustic concentration, if possible at the location of the ailment (the nose and throat area).

It was surprisingly discovered that a diluted zinc gluconate solution used as nose spray is able to prevent the development of the common cold in a timely manner. It is at the same time of great importance that the zinc gluconate solution be used in an atomizer (0.14 ml per puff), in order to achieve the most even distribution on the mucosa in the nose and throat area. The medication pursuant to the invention for treating viral ailments, in particular the common cold and influenza, consists therefore of a diluted aqueous solution of zinc gluconate, which can contain preservatives, stabilizers, and other customary medicinal additives, if required. The concentration of active ingredient in the medication amounts in general to between 0.1% and 5%, preferably 2%.

bottles and then administered according to instructions.

The use of the pharmaceutical preparation occurs preferably at the first signs of an ailment every 1-2 hours. Tests on humans have shown that an ailment does not even break out with the new products and with this method. No caustic effects occur. As only side effect was experienced an occasional mild burning sensation, which however was not uncomfortable. The spray

restores the previously impeded breathing due to its mild

astringent effect. If a cold has already broken out, because

the new medication was not used in good time, then the course of

the ailment can be influenced advantageously, and the recovery

can be accelerated if the product is utilized according to

The finished medicinal preparation is filled into suitable spray

The following example describes the production of a nose spray; however, it should not limit in any way the scope of the invention.

/7

Zinc Gluconate Nose Spray 2.0%

1 ml contains:

instructions.

Zing gluconate 20 mg Edetic acid, disodium salt 2 H_2 1 mg Benzalconium chloride 0.1 mg Water 978.9 mg

The preparation is filled into suitable spray bottles.